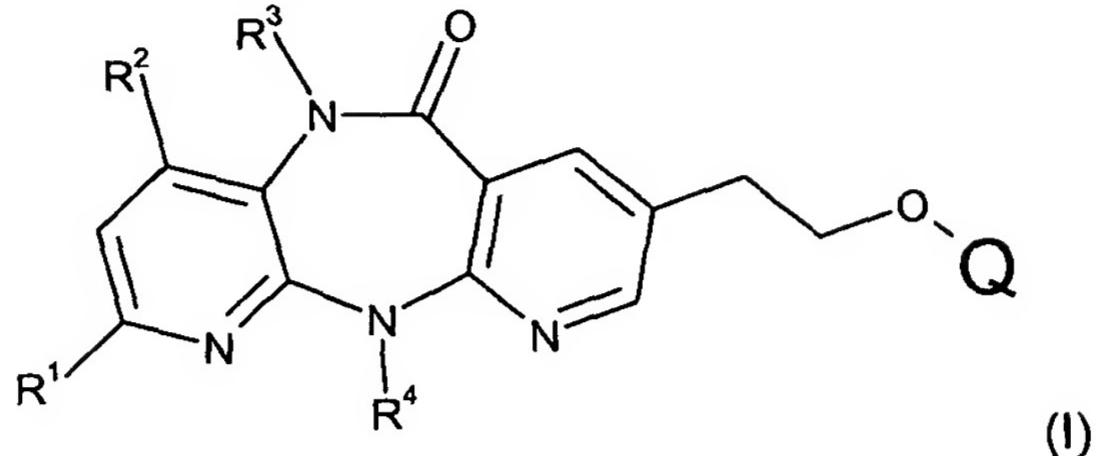


## CLAIMS

1. A compound represented by formula I:

5



wherein

**R¹** is selected from the group consisting of H, halogen, (C<sub>1-4</sub>)alkyl, O(C<sub>1-6</sub>)alkyl, and haloalkyl;

10    **R₂** is H or (C<sub>1-4</sub>)alkyl;

**R³** is H or (C<sub>1-4</sub>)alkyl;

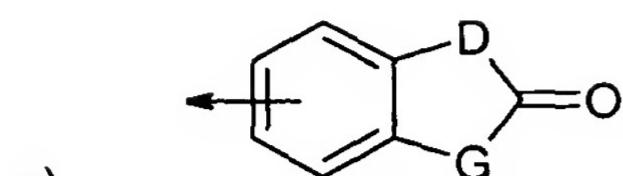
**R⁴** is (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkyl(C<sub>3-7</sub>)cycloalkyl, or (C<sub>3-7</sub>)cycloalkyl; and

15

**Q** is a fused phenyl-5 or 6-membered saturated heterocycle having one to two heteroatoms selected from O and N, said **Q** is selected from the group consisting of:

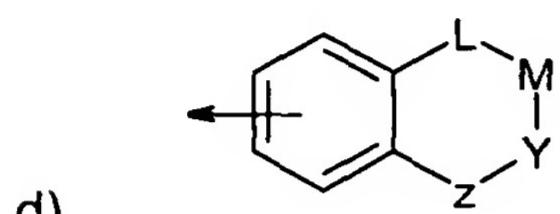
- a) wherein one of **E** and **G** is C(O) and the other is NR<sup>5</sup>
- 20    wherein **R⁵** is selected from the group consisting of H, hydroxy and (C<sub>1-4</sub>)alkyl unsubstituted or substituted with pyridinylmethyl, (pyridinyl-N-oxide)methyl or C(O)OR<sup>6</sup> wherein **R⁶** is H or (C<sub>1-4</sub>)alkyl; and each **R⁷** is independently H, Me or Et; or

- b)
- 25    substituted with C(O)OR<sup>9</sup> wherein **R⁹** is H or (C<sub>1-4</sub>)alkyl; or



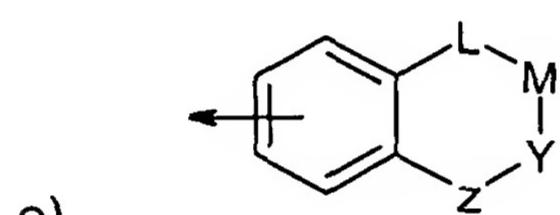
c) wherein **D** and **G** are  $\text{NR}^{10}$  wherein each  $\text{R}^{10}$  is independently H or ( $C_{1-4}$ )alkyl unsubstituted or substituted with  $\text{C(O)OR}^{11}$  wherein  $\text{R}^{11}$  is H or ( $C_{1-4}$ )alkyl; or

5



d) wherein one of **L**, **M**, **Y** and **Z** is  $\text{NR}^{12}$  wherein  $\text{R}^{12}$  is H, ( $C_{1-4}$ )alkyl unsubstituted or substituted with  $\text{C(O)OR}^{12x}$  wherein  $\text{R}^{12x}$  is H or ( $C_{1-4}$ )alkyl; one of the remaining positions of **L**, **M**, **Y** and **Z** adjoining the  $\text{NR}^{12}$  is  $\text{C(O)}$ ; and the remaining two positions are each  $\text{CR}^{13}\text{R}^{13}$  wherein each  $\text{R}^{13}$  is independently H, Me or Et; or

10

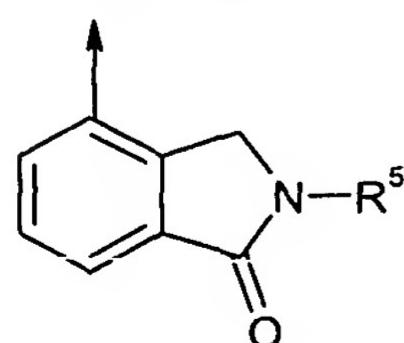


e) wherein three adjoining positions of **L**, **M**, **Y** and **Z** (namely **L-M-Y** or **M-Y-Z**) represent  $\text{NR}^{14}-\text{C(O)-O-}$  or  $-\text{NR}^{15}-\text{C(O)-NR}^{16}-$  wherein  $\text{R}^{14}$ ,  $\text{R}^{15}$  and  $\text{R}^{16}$  each represents H or ( $C_{1-4}$ )alkyl unsubstituted or substituted with  $\text{C(O)OR}^{17}$  wherein  $\text{R}^{17}$  is H or ( $C_{1-4}$ )alkyl; and the remaining position of **L**, or **Z** is  $\text{CR}^{18}\text{R}^{18}$  wherein each  $\text{R}^{18}$  is H, Me or Et;

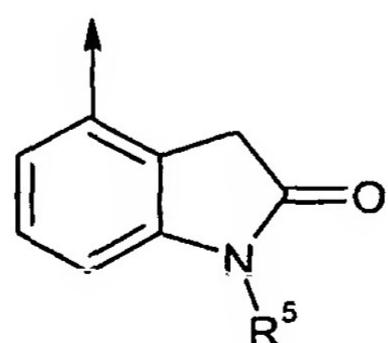
or a pharmaceutically acceptable salt, or prodrug thereof.

20 2. The compound according to claim 1, wherein **R**<sup>1</sup> is selected from: H, Cl, F, ( $C_{1-4}$ )alkyl and  $\text{CF}_3$ ; **R**<sup>2</sup> and **R**<sup>3</sup> is each independently H or Me; **R**<sup>4</sup> is ethyl or cyclopropyl; and

**Q** is selected from:

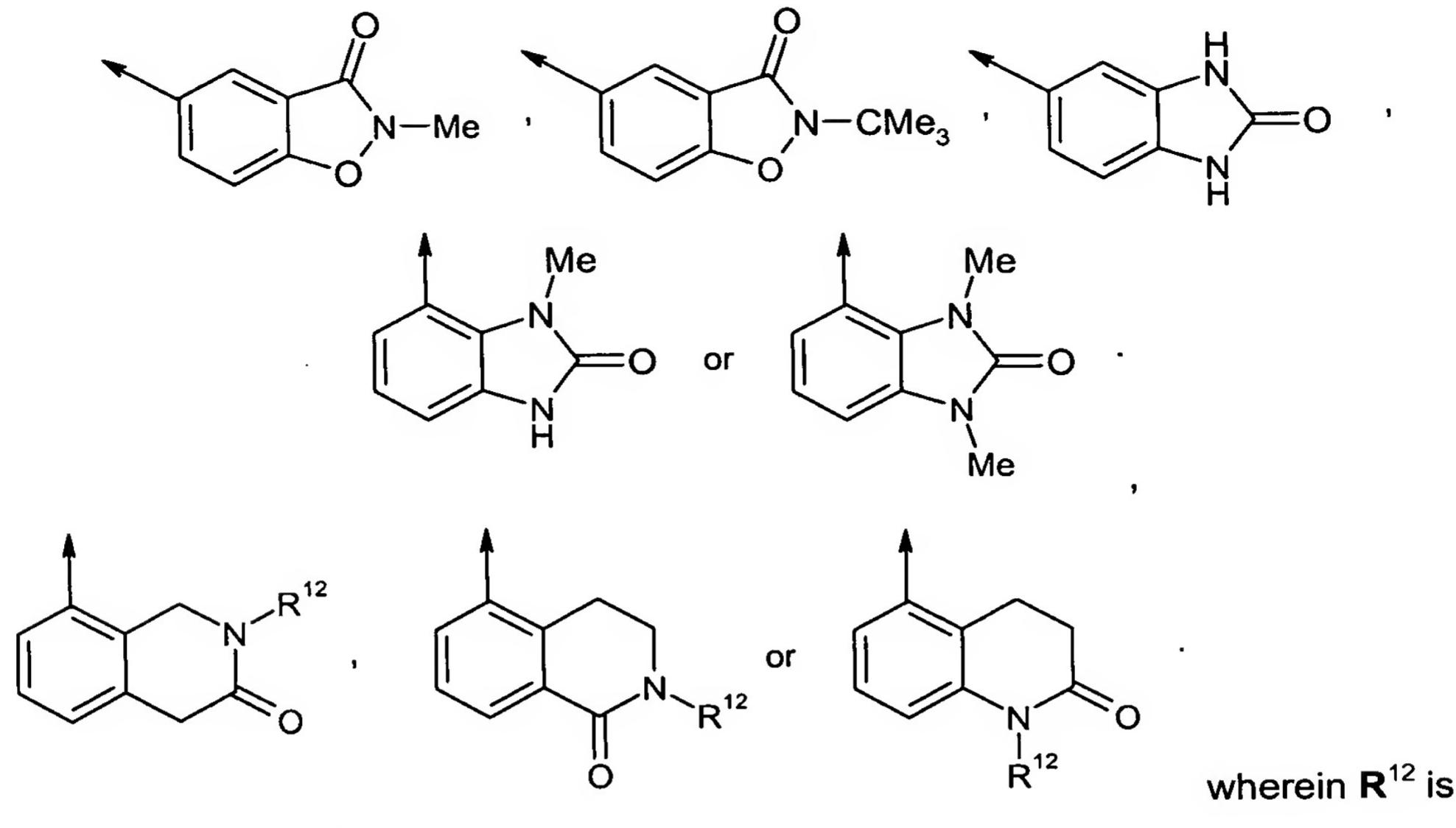


or



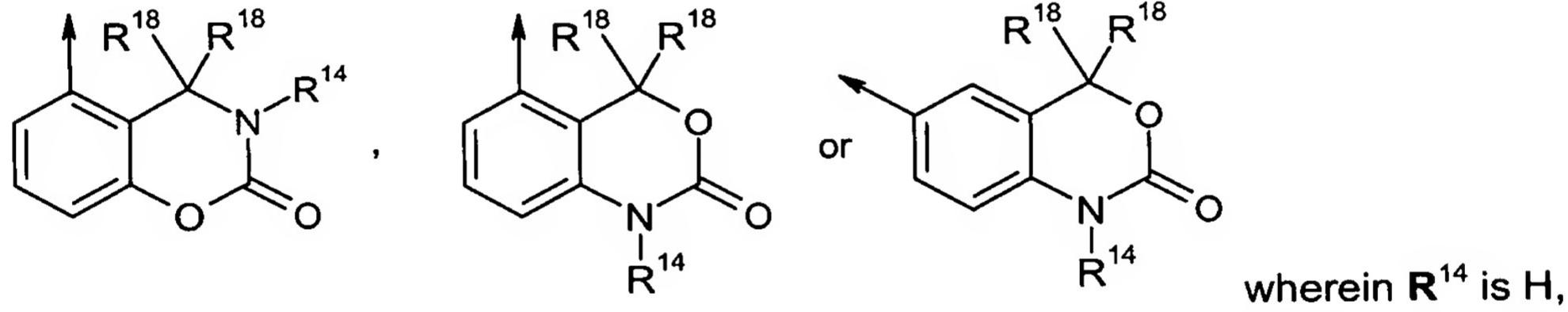
wherein **R**<sup>5</sup> is H, hydroxy,  $\text{CH}_3$  or (4-

25 pyridinyl)methyl;



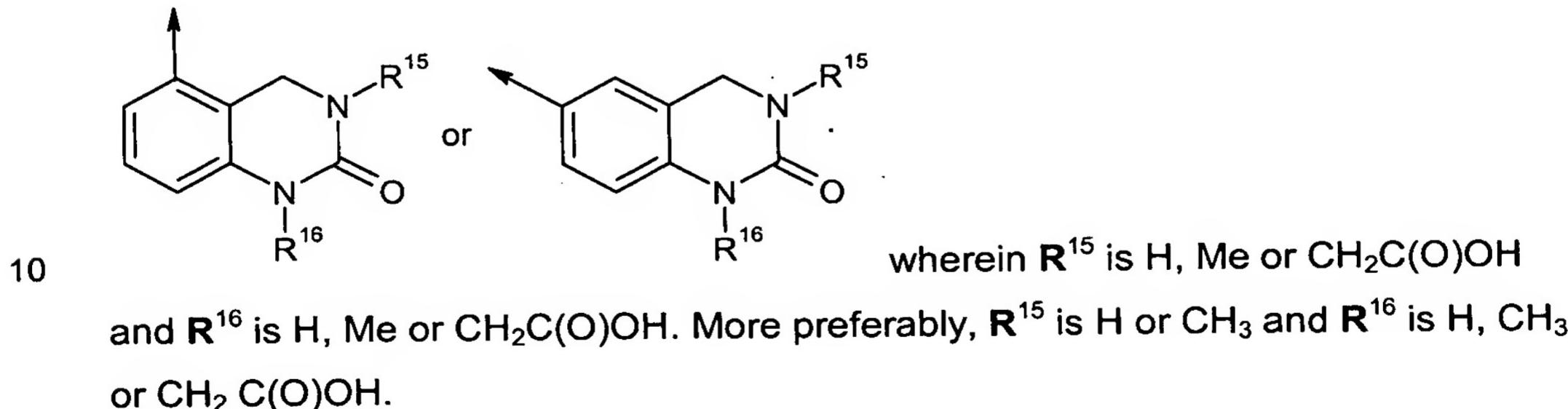
H, Me or  $\text{CH}_2\text{C}(\text{O})\text{OH}$ ,

5 or  $\mathbf{Q}$  is further selected from:

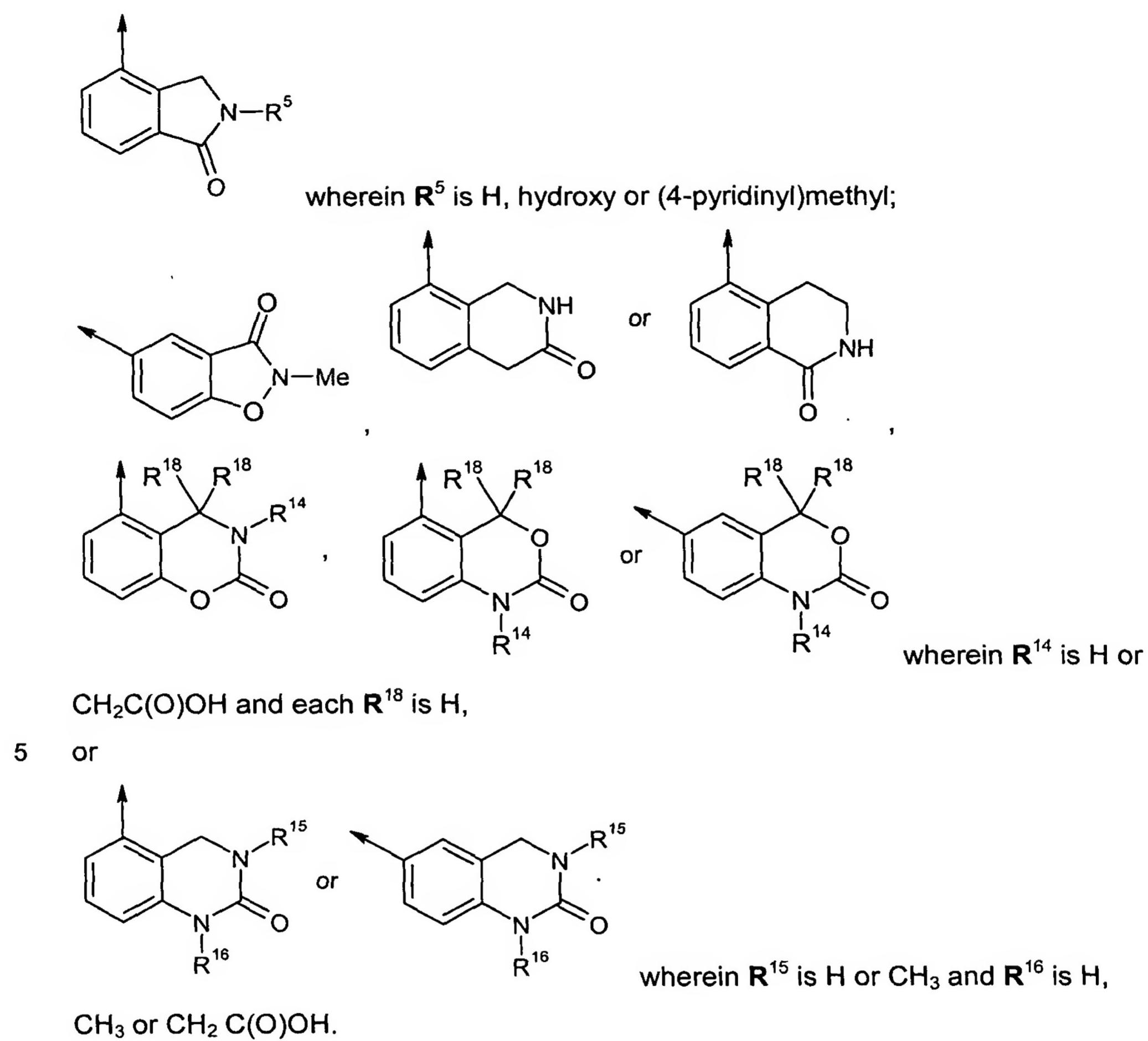


Me or  $\text{CH}_2\text{C}(\text{O})\text{OH}$  and each  $\mathbf{R}^{18}$  is independently H or Me. More preferably,  $\mathbf{R}^{14}$  is H or  $\text{CH}_2\text{C}(\text{O})\text{OH}$  and each  $\mathbf{R}^{18}$  is H,

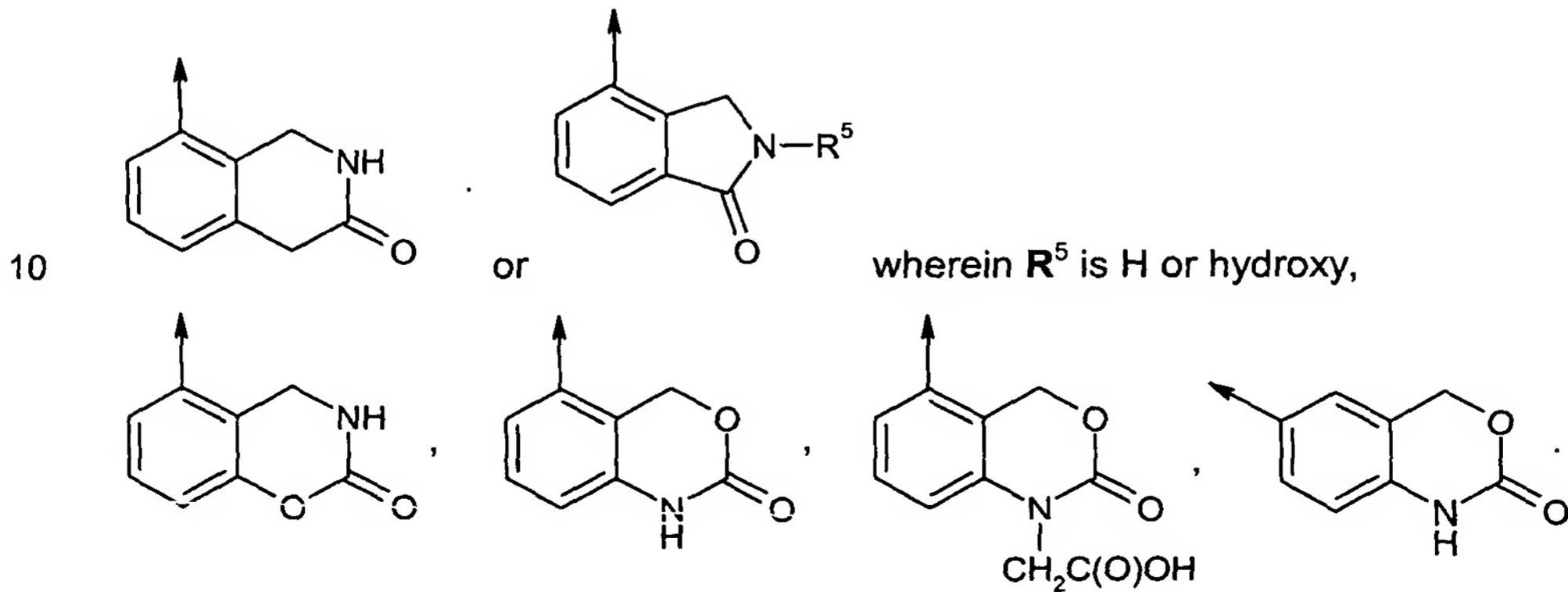
or

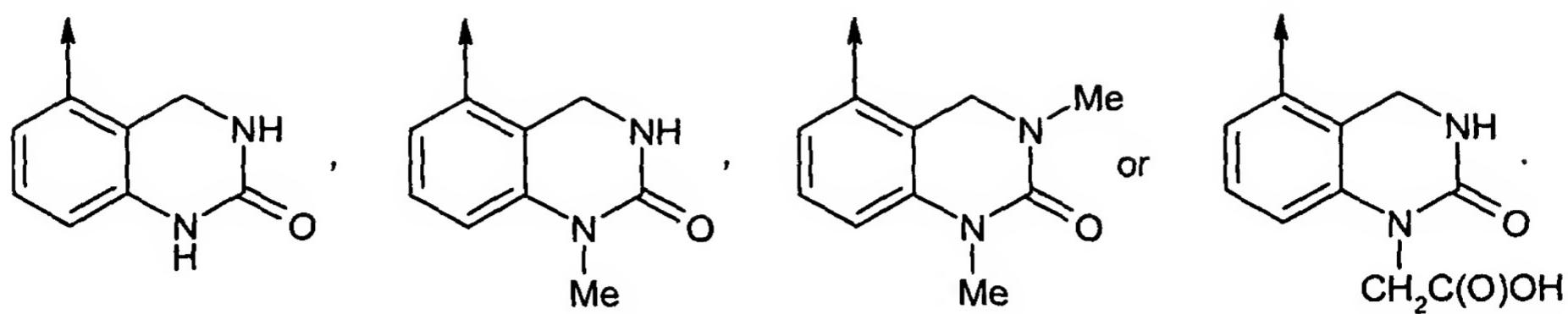


3. The compound according to claim 2, wherein  $\mathbf{R}^1$  is H, Cl, F or Me;  $\mathbf{R}^2$  is H;  $\mathbf{R}^3$  is Me;  $\mathbf{R}^4$  is ethyl; and  $\mathbf{Q}$  is selected from:

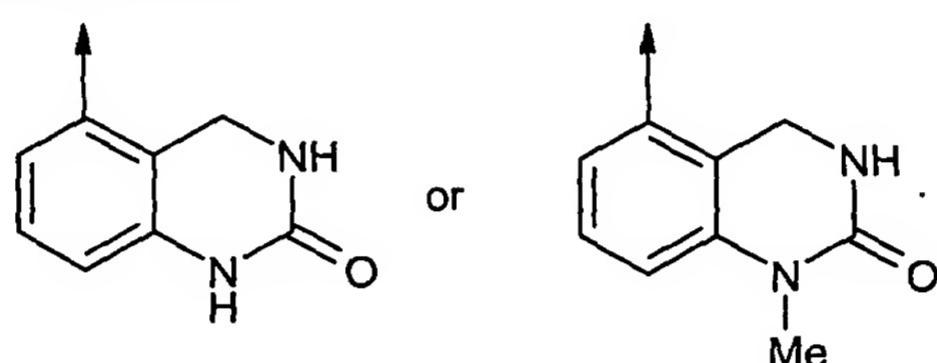


4. The compound according to claim 3, wherein  $\mathbf{Q}$  is selected from:





5. The compound according to claim 4, wherein R<sup>1</sup> is H, R<sup>2</sup> is H, R<sup>3</sup> is Me, R<sup>4</sup> is ethyl and Q is selected from:



5

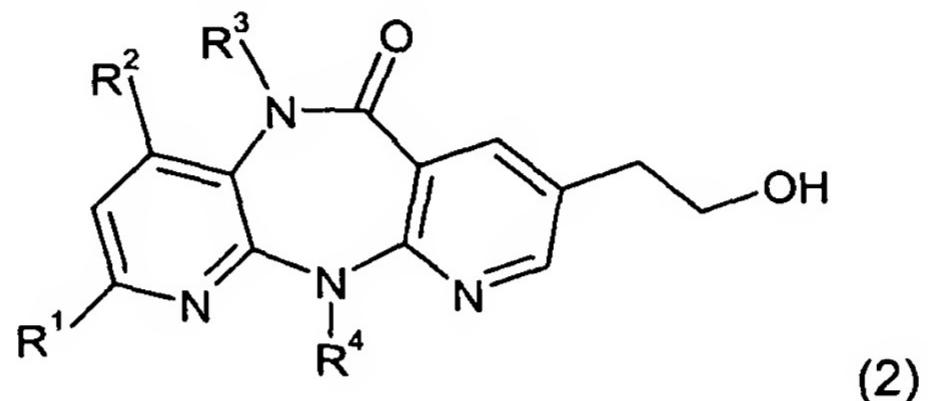
6. A pharmaceutical composition for the treatment or prevention of HIV infection, comprising a compound of formula I according to claim 1, or a pharmaceutically acceptable salt, or prodrug thereof, and a pharmaceutically acceptable carrier.
- 10
7. A method for the treatment or prevention of HIV infection, comprising administering to a patient an HIV inhibiting amount of a compound of formula I according to claim 1, or a pharmaceutically acceptable salt, or prodrug thereof.
- 15
8. A method for the treatment or prevention of HIV infection, comprising administering to a patient an HIV inhibiting amount of a pharmaceutical composition, according to claim 6.
- 20
9. A method for treating or preventing HIV infection comprising administering a compound of formula I according to claim 1, in combination with an antiretroviral drug.
- 25
10. A method for preventing perinatal transmission of HIV11 from mother to baby, comprising administering a compound of formula I according to claim 1, to the mother before giving birth.

11. Use of a compound of formula I according to claim 1, for the manufacture of a medicament for the treatment or prevention of HIV infection in a human.

12. A process for producing a compound of formula I according to claim 1,

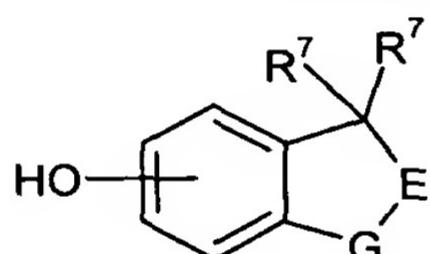
5 comprising steps of:

- coupling a compound of formula 2:



wherein  $\mathbf{R}^1$ ,  $\mathbf{R}^2$ ,  $\mathbf{R}^3$  and  $\mathbf{R}^4$  are as defined in claim 1;

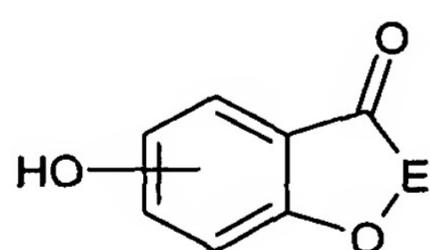
10 with a phenolic derivative selected from:



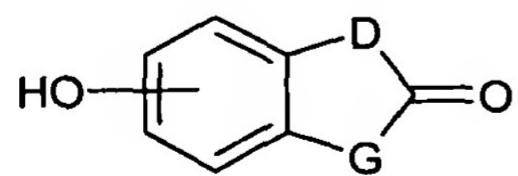
a) wherein one of  $\mathbf{E}$  and  $\mathbf{G}$  is  $\text{C(O)}$  and the other is  $\text{NR}^{5A}$

wherein  $\mathbf{R}^{5A}$  is a N-protecting group, hydroxy or ( $\text{C}_{1-4}$ )alkyl unsubstituted or substituted with pyridylmethyl, (pyridinyl-N-oxide) methyl or  $\text{C(O)OR}^{6A}$  wherein  $\mathbf{R}^{6A}$  is a carboxy protecting group or ( $\text{C}_{1-4}$ )alkyl; and each  $\mathbf{R}^7$  is independently H, Me or Et.

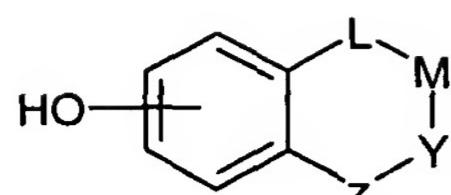
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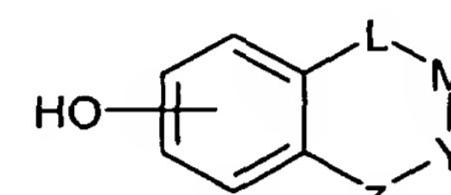
b) wherein  $\mathbf{E}$  is  $\text{NR}^{8A}$  wherein  $\mathbf{R}^{8A}$  is a N-protecting group, ( $\text{C}_{1-4}$ )alkyl unsubstituted or substituted with  $\text{C(O)OR}^{9A}$  wherein  $\mathbf{R}^{9A}$  is a carboxy protecting group or ( $\text{C}_{1-4}$ )alkyl; or



c) wherein  $\mathbf{D}$  and  $\mathbf{G}$  each independently is  $\text{NR}^{10A}$  wherein  $\mathbf{R}^{10A}$  is a N-protecting group or ( $\text{C}_{1-4}$ )alkyl unsubstituted or substituted with  $\text{C(O)OR}^{11A}$  wherein  $\mathbf{R}^{11A}$  is a carboxy protecting group or ( $\text{C}_{1-4}$ )alkyl;



- d) wherein one of **L**, **M**, **Y** and **Z** is  $\text{NR}^{12A}$  wherein  $\text{NR}^{12A}$  is a N-protecting group,  $(\text{C}_{14})\text{alkyl}$  unsubstituted or substituted with  $\text{C}(\text{O})\text{OR}^{12y}$  wherein  $\text{R}^{12y}$  is a carboxy protecting group or  $(\text{C}_{14})\text{alkyl}$ ; one of the remaining positions of **L**, **M**, **Y** and **Z** adjoining the  $\text{NR}^{12A}$  is  $\text{C}(\text{O})$ ; and the remaining two positions are each 5  $\text{CR}^{13}\text{R}^{13}$  wherein each  $\text{R}^{13}$  is independently  $\text{H}$ ,  $\text{Me}$  or  $\text{Et}$ ; or



- e) wherein three adjoining positions of **L**, **M**, **Y** and **Z** (namely **L-M-Y** or **M-Y-Z**) represent  $-\text{NR}^{14}-\text{C}(\text{O})-\text{O}-$  or  $-\text{NR}^{15}-\text{C}(\text{O})-\text{NR}^{16}-$  wherein  $\text{R}^{14}$ , 10  $\text{R}^{15}$  and  $\text{R}^{16}$  are as defined in claim 1, and the remaining position of **L** or **Z** is  $\text{CR}^{18}\text{R}^{18}$  wherein each  $\text{R}^{18}$  is as defined in claim 1;  
and, if required,  
- removing any protective groups in a mixture of aqueous base or aqueous acid in a co-solvent, to obtain the corresponding compound of formula I.
- 15 13. The process according to claim 12, wherein said N-protecting group is selected from the group consisting of: alkyl esters; aralkyl esters; and esters that can be cleaved by mild base treatment or mild reductive means.
- 20 14. The process according to claim 12, wherein said carboxy-protecting group is selected from the group consisting of: Boc (*tert*-butyloxycarbonyl) and alkyl carbamates.
- 25 15. A pharmaceutical preparation for use in the treatment or prevention of HIV infection, wherein the active ingredient is a compound of formula 1 according to claim 1, or a pharmaceutically acceptable salt, ester or prodrug thereof.